

Anti-diabetically effective 2-substituted-N-(5-substituted-2-pyrimidinyl)hydrindene-5-sulfonamides. Heerdt, Ruth; Huebner, Manfred; Schmidt, Felix Helmut; Stach, Kurt; Muth, Karl. (Boehringer Mannheim G.m.b.H.). S. African (1969), 26 pp. CODEN: SFXAB ZA 6806875 19690326 Patent written in English. Application: ZA Priority: DE 19671024. CAN 72:12763 AN 1970:12763 CAPLUS

#### Patent Family Information

#### Abstract

The title compds. (I, R = Et, Pr, PrO, iso-Pr, MeOCH<sub>2</sub>, EtOCH<sub>2</sub>, PhCH<sub>2</sub>, PrS, EtO, cyclohexylmethyl, cyclohexyl, cyclohexyloxy, or 5,6,7,8-tetrahydroquinazoliny; R<sub>1</sub> = H or Me; R<sub>2</sub> = 2,5-(MeO)C<sub>6</sub>H<sub>3</sub>, 2,5-(MeO)BrC<sub>6</sub>H<sub>3</sub>, cyclohexyl, m-MeC<sub>6</sub>H<sub>4</sub>, m-ClC<sub>6</sub>H<sub>4</sub>, PhSCH<sub>2</sub>, 3-methoxy-2-thienyl, 2-furyl, PhOCH<sub>2</sub>, Me(o-MeC<sub>6</sub>H<sub>4</sub>)N, o-MeOC<sub>6</sub>H<sub>4</sub>, 3-chloro-2-thienyl, PhCH<sub>2</sub>CH<sub>2</sub>, m-F<sub>3</sub>CC<sub>6</sub>H<sub>4</sub>, m-FC<sub>6</sub>H<sub>4</sub>, 2,5-(MeO)C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>, or PhCH<sub>2</sub>O) are prepd. by reacting II (X = COR<sub>2</sub>, Y = Cl; X = H, Y = 2-pyrimidinylamino; X = R<sub>2</sub>CO, Y = H<sub>2</sub>N) with the appropriate 2-aminopyrimidine, R<sub>2</sub>COCl, and 2-chloropyrimidine, resp. For example, sulfochlorination of 2-(5-chloro-2-methoxybenzamido)hydrindene gave II (X = 2,5-(MeO)C<sub>6</sub>H<sub>3</sub>CO, Y = Cl) (III), m. 133°. III (3.2 g) was added to 1.23 g 2-amino-5-propoxypyrimidine in 5 ml anhyd. pyridine, and the mixt. kept overnight and heated 2 hr on a steam bath to give 75% I (R = PrO, R<sub>1</sub> = H, R<sub>2</sub> = 5,2-(MeO)C<sub>6</sub>H<sub>3</sub>), m. 122-4°. Alk. hydrolysis of I (R = iso-Bu, R<sub>1</sub> = H; R<sub>2</sub> = OEt) gave 5-(5-isobutyl-2-pyrimidinylaminosulfonyl)-2-aminohydrindene (IV), 235-40°. A soln. of 2 g IV in 3.4 ml 2N NaOH and 5 ml water was treated with 1.2 g 1-indolinecarbonyl chloride in 10 ml CH<sub>2</sub>Cl<sub>2</sub> to give 59.8% I (R = iso-Bu, R<sub>1</sub> = H, R<sub>3</sub> = 1-indoliny), m. 247-9°. A mixt. of 2.3 g II (X = PhCH<sub>2</sub>CH<sub>2</sub>CO, Y = NH<sub>2</sub>), 1.15 g 2-chloro-5-isobutylpyrimidine and 0.9 g K<sub>2</sub>CO<sub>3</sub> was heated to 190° to give I (R = iso-Bu, R<sub>1</sub> = H, R<sub>2</sub> = PhCH<sub>2</sub>CH<sub>2</sub>), m. 202-4°.